

Translation

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

541535
PCT/EP2004/000054



Applicant's or agent's file reference PCT06.798.1E	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/EP2004/000054	International filing date (day/month/year) 07 January 2004 (07.01.2004)	Priority date (day/month/year) 09 January 2003 (09.01.2003)
International Patent Classification (IPC) or national classification and IPC C07D 239/84		
Applicant CHEMISCH-PHARMAZEU TISCHES LABOR ROLF SACHSE GMBH		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. ☒ (sent to the applicant and to the International Bureau) a total of 2 sheets, as follows:

☒ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒ Box No. I Basis of the report

☐ Box No. II Priority

☒ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

☐ Box No. IV Lack of unity of invention

☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

☐ Box No. VI Certain documents cited

☐ Box No. VII Certain defects in the international application

☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 21 July 2004 (21.07.2004)	Date of completion of this report 16 March 2005 (16.03.2005)
Name and mailing address of the IPEA/EP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2004/000054

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language _____, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☐ The international application as originally filed/furnished
- ☒ the description:
- pages _____ 1-16 _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☒ the claims:
- pages _____ 1-5, 8 _____, as originally filed/furnished
- pages* _____, as amended (together with any statement) under Article 19
- pages* _____ 6, 7 _____ received by this Authority on 03 March 2005 (03.03.2005)
- pages* _____ received by this Authority on _____
- ☐ the drawings:
- pages _____, as originally filed/furnished
- pages* _____ received by this Authority on _____
- pages* _____ received by this Authority on _____
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets/figs _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2004/000054

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application.

☒ claims Nos. 6-8

because:

☒ the said international application, or the said claims Nos. 6-8
relate to the following subject matter which does not require an international preliminary examination (*specify*):

See supplemental sheet

☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. _____
are so unclear that no meaningful opinion could be formed (*specify*):

☐ the claims, or said claims Nos. _____ are so inadequately supported
by the description that no meaningful opinion could be formed.

☐ no international search report has been established for said claims Nos. _____

☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the
Administrative Instructions in that:

the written form

☐ has not been furnished

☐ does not comply with the standard

the computer readable form

☐ has not been furnished

☐ does not comply with the standard

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with
the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☐ see Supplemental Box for further details.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/EP2004/000054

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

1. Statement

Novelty (N)

Claims 1 - 8

YES

Claims

NO

Inventive step (IS)

Claims 1 - 8

YES

Claims

NO

Industrial applicability (IA)

Claims 1 - 5

YES

Claims

NO

2. Citations and explanations (Rule 70.7)

See Supplemental Sheet

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of:

1. This report refers to the following documents (D) cited in the search report; the same numbering will be used throughout the procedure:

D1: WO01/40196

D2: US-A-4146718

2. The present application concerns the use of 2-amino-2H-quinazoline derivatives for producing therapeutic agents.
3. A new claim 1 was submitted with the letter of 19 June 2004. New claims 6 and 7 were submitted with the letter of 2 March 2005. The amendments are consistent with PCT Article 34(2)(b).

Box III

4. The PCT Contracting States do not have uniform criteria for assessing the industrial applicability of claims 6 to 8 in their present form. Patentability may also depend on the wording of the claims. The EPO, for example, does not recognise the industrial applicability of claims to the medical use of a compound; it may, however, allow claims to the first medical application of a known compound or to the use of such a compound in the manufacture of a drug for a new medical application.

Supplemental Box

Box V

5. Novelty (PCT Article 33(2))

The use of compounds of general formula (I) as per the present claim 1 for producing therapeutic agents is not disclosed in the cited prior art. Novelty is therefore established in respect of the claimed subject matter.

6. Inventive step (PCT Article 33(3))

According to the present description, the technical problem of interest lies in the preparation of a new active ingredient for treating various diseases (page 4, line 4 ff.). A person skilled in the art is aware from document D1 that the compounds of general formula (D) disclosed therein, which correspond to the compounds of general formula (I) of the present application, have a blood platelet anti-aggregative effect (D1: page 3, line 37; see also D2, column 8, line 68). It is also known that said compounds are precursors in anagrelide synthesis (D1: page 3, lines 32 and 33; D2: column 3, lines 28-35). However, none of the cited documents appears to suggest using compounds of formula (I) directly for producing therapeutic agents, in particular for combating myeloproliferative diseases or for bronchodilation. In addition, although D1 and D2

Supplemental Box

indicate that the compounds, falling under basic compounds, can be converted into the active ingredient anagrelide, the conditions disclosed (organic base, e.g. triethylamine in ethanol with reflux) appear not to indicate *in vivo* cyclisation. The subject matter of independent claim 1 is therefore considered to involve an inventive step. The same applies to independent claims 6 and 7 and to the dependent claims.

7. Industrial applicability (PCT Article 33(4))

Is acknowledged in respect of claims 1 to 5.